ANTIBACTERIAL ANTIPLAQUE ANTICALCULUS ORAL COMPOSITION

The application is a division of application Ser. No. 07/657,885, filed Feb. 19, 1991, now U.S. Pat. No. 5,180,578 issued Jan. 19, 1993, which is a continuation of application Ser. No. 07/398,605, filed Aug. 25, 1989, now abandoned which is a continuation-in-part of application Ser. No. 346,258, filed May 1, 1989 now U.S. Pat. No. 5,043,154, granted Aug. 27, 1991, which is a continuation of application Ser. No. 8901, filed Jan. 30, 1987 now abandoned.

This invention relates to an antibacterial antiplaque anticalculus oral composition. More particularly, it relates to an oral composition containing a polyphosphate anticalculus (that is, antitartar) agent and a compatible antibacterial agent effective to inhibit plaque, wherein antiplaque effectiveness is optimized by the presence of an antibacterial-enhancing agent which enhances the delivery of said antibacterial agent to, and retention thereof on, oral surfaces.

In U.S. Pat. Nos. 4,627,977 to Gaffar et al; 4,515,772 to Parran et al; and 4,323,551 to Parran, oral compositions are described which include various polyphosphate compounds. In the patent to Gaffar et al, a linear molecularly dehydrated polyphosphate salt is employed in conjunction with a fluoride ion-providing source and a synthetic linear polymeric polycarboxylate to inhibit calculus formation. In copending U.S. patent application Ser. No. 169,915, filed Mar. 18, 1988, anticalculus effectiveness is optimized with a reduced amount of the linear molecularly dehydrated polyphosphate salt in conjunction with the fluoride ion-providing source and increased amount of the synthetic linear polymeric polycarboxylate.

In the patents to Parran et al and to Parran, water soluble dialkali metal pyrophosphate alone or mixed with tetraalkali metal pyrophosphate is employed.

Oral compositions which inhibit calculus formation on dental surfaces are highly desirable since calculus is one of the causative factors in periodontal conditions. Thus, its reduction promotes oral hygiene.

Dental plaque is a precursor of calculus. Unlike calculus, however, plaque may form on any part of the tooth surface, particularly including at the gingival margin. Hence, besides being unsightly, it is implicated in the occurrence of gingivitis.

Accordingly, it would be highly desirable to include antimicrobial agents which have been known to reduce plaque in oral compositions containing anticalculus agents. Indeed, this has been described in U.S. Pat. No. 4,022,550 to Vinson et al, wherein a compound providing zinc ions as an anticalculus agent is admixed with an antibacterial agent effective to retard the growth of plaque bacteria. A wide variety of antibacterial agents are described with the zinc compounds including cationic materials such as guanides and quaternary ammonium compounds as well as non-cationic compounds such as halogenated salicylanilides and halogenated hydroxydiphenyl ethers.

Hitherto, the cationic antibacterial materials such as chlorhexidine, benzethonium chloride and cetyl pyridinium chloride have been the subject of greatest investigation as antibacterial antiplaque agents. However, in spite of their being used in conjunction with zinc anticalculus agent, they are not effective when used with anionic materials such as polyphosphate anticalculus agent. This ineffectiveness is considered to be quite surprising as polyphosphates are chelating agents and the chelating effect has previously been known to increase the efficacy of cationic antibacterial agents. (see e.g. *Disinfection, sterilization and Preservation*, 2nd Ed., Black, 1977, Page 915 and *Inhibition and Destruction of the Microbial Cell*, Hugo, 1971, Page 215). Indeed, quaternary ammonium compound is present in the plaque control mouthwash containing pyrophosphate of U.S. Pat. No. 4,323,551 to Parran and bis-biguanide antiplaque agent is suggested in the anticalculus pyrophosphate oral composition of U.S. Pat. No. 4,515,772-Parran et al.

In view of the surprising incompatibility of cationic antibacterial agents with polyphosphates present as anticalculus agents, it was quite unexpected that other antibacterial agents would be effective.

It is an advantage of this invention that certain antibacterial agents are effective in anticalculus oral compositions containing a linear molecularly dehydrated polyphosphate salt, a fluoride-ion-providing source and the aforementioned antibacterial-enhancing agent to inhibit plaque formation.

It is a further advantage of this invention that a composition is provided which is effective to reduce calculus formation and optimize plaque reduction.

It is a further advantage of this invention that an antiplaque, anticalculus oral composition is provided which is effective to reduce the occurrence of gingivitis.

Additional advantages of this invention will be apparent from consideration of the following specification.

In accordance with certain of its aspects this invention relates to an oral composition comprising in an orally acceptable vehicle, an effective anticalculus amount of material comprising about 0.1–3% by weight of at least one linear molecularly dehydrated polyphosphate salt as anticalculus agent, an effective antiplaque amount of a substantially water insoluble noncationic antibacterial agent and up to about 4% by weight of an antibacterial-enhancing agent which enhances the delivery of said antibacterial to, and retention thereof on, oral surfaces, the weight ratio of polyphosphate ion to antibacterial-enhancing agent ranging from about 1.6:1 to about 2.7:1, preferably about 1.7:1 to about 2.3:1 and most preferably about 1.9:1 to about 2:1 For instance, when 2% tetrasodium pyrophosphate (TSPP) is employed (providing about 1.3% of pyrophosphate ion) with 2.5% of the antibacterial-enhancing agent, a highly desirable weight ratio of about 1.9:1 is provided.

The aspects of invention described below are also within this disclosure:

An invention aspect of oral composition comprising an orally acceptable vehicle, an anti-bacterial-enhancing agent as defined herein, and polyphosphate anticalculus agent, the said polyphosphate anticalculus agent being a mixture of potassium and sodium salts, the ratio of potassium to sodium in the said composition being in the range of up to less than 3:1, e.g. from 0.37:1 to 1.04:1.

A further invention aspect of an oral composition comprising an orally acceptable vehicle, an anti-bacterial-enhancing agent (as defined herein), the anti-bacterial-enhancing agent being free from or substantially free from water soluble alkali metal or ammonium synthetic anionic linear polymeric polycarboxylate salt having a molecular weight of 1,000 to 1,000,000, and polyphosphate anticalculus agent.